PATENT COOPERATION TREATY

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From the
INTERNATIONAL PRELIMINARY EXAMINING AUTHORITY

To:

LEVY, David, J
GlaxoSmithKline
Five Moore Drive
PO Box 13398
Research Triangle Park, NC 27709
ETATS-UNIS D'AMERIQUE

PCT

NOTIFICATION OF TRANSMITTAL OF THE INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Rule 71.1)

Date of mailing *(day/month/year)*	23.07.2004
Applicant's or agent's file reference PU4870WO	**IMPORTANT NOTIFICATION**

International application No.	International filing date *(day/month/year)*	Priority date *(day/month/year)*
PCT/US 03/24272	04.08.2003	08.08.2002

Applicant
SMITHKLINE BEECHAM CORPORATION

1. The applicant is hereby notified that this International Preliminary Examining Authority transmits herewith the international preliminary examination report and its annexes, if any, established on the international application.

2. A copy of the report and its annexes, if any, is being transmitted to the International Bureau for communication to all the elected Offices.

3. Where required by any of the elected Offices, the International Bureau will prepare an English translation of the report (but not of any annexes) and will transmit such translation to those Offices.

4. **REMINDER**

The applicant must enter the national phase before each elected Office by performing certain acts (filing translations and paying national fees) within 30 months from the priority date (or later in some Offices) (Article 39(1)) (see also the reminder sent by the International Bureau with Form PCT/IB/301).

Where a translation of the international application must be furnished to an elected Office, that translation must contain a translation of any annexes to the international preliminary examination report. It is the applicant's responsibility to prepare and furnish such translation directly to each elected Office concerned.

For further details on the applicable time limits and requirements of the elected Offices, see Volume II of the PCT Applicant's Guide.

The applicant's attention is drawn to Article 33(5), which provides that the criteria of novelty, inventive step and industrial applicability described in Article 33(2) to (4) merely serve the purposes of international preliminary examination and that "any Contracting State may apply additional or different criteria for the purposes of deciding whether, in that State, the claimed inventions is patentable or not" (see also Article 27(5)). Such additional criteria may relate, for example, to exemptions from patentability requirements for enabling disclosure, clarity and support for the claims.

Name and mailing address of the international preliminary examining authority:

European Patent Office
D-80298 Munich
Tel. +49 89 2399 - 0 Tx: 523656 epmu d
Fax: +49 89 2399 - 4465

Authorized Officer
Brell, S
Tel. +49 89 2399-7271

Express Mail Label No.
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PATENT COOPERATION TREATY

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INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

31 JAN 2005

Applicant's or agent's file reference PU4870WO	**FOR FURTHER ACTION**	See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)
International application No. PCT/US 03/24272	International filing date *(day/month/year)* 04.08.2003	Priority date *(day/month/year)* 08.08.2002
International Patent Classification (IPC) or both national classification and IPC C07D409/04		
Applicant SMITHKLINE BEECHAM CORPORATION		

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1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.

2. This REPORT consists of a total of 5 sheets, including this cover sheet.

   ☐ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

   These annexes consist of a total of sheets.

3. This report contains indications relating to the following items:

   - I ☒ Basis of the opinion
   - II ☐ Priority
   - III ☒ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
   - IV ☐ Lack of unity of invention
   - V ☒ Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
   - VI ☐ Certain documents cited
   - VII ☐ Certain defects in the international application
   - VIII ☐ Certain observations on the international application

Date of submission of the demand 13.02.2004	Date of completion of this report 23.07.2004
Name and mailing address of the international preliminary examining authority: European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized Officer Ousset, J-B Telephone No. +49 89 2399-8271

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/US 03/24272

I. Basis of the report

1. With regard to the **elements** of the international application *(Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17))*:

Description, Pages

1-218 as originally filed

Claims, Numbers

1-42 as originally filed

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
- ☐ the language of publication of the international application (under Rule 48.3(b)).
- ☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
- ☐ filed together with the international application in computer readable form.
- ☐ furnished subsequently to this Authority in written form.
- ☐ furnished subsequently to this Authority in computer readable form.
- ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- ☐ the description, pages:
- ☐ the claims, Nos.:
- ☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

6. Additional observations, if necessary:

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/US 03/24272

III. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:

☐ the entire international application,

☒ claims Nos. 24-29,39

because:

☒ the said international application, or the said claims Nos. 24-29 relate to the following subject matter which does not require an international preliminary examination (specify):

see separate sheet

☒ the description, claims or drawings *(indicate particular elements below)* or said claims Nos. 39 are so unclear that no meaningful opinion could be formed *(specify)*:

see separate sheet

☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.

☐ no international search report has been established for the said claims Nos.

2. A meaningful international preliminary examination cannot be carried out due to the failure of the nucleotide and/or amino acid sequence listing to comply with the standard provided for in Annex C of the Administrative Instructions:

☐ the written form has not been furnished or does not comply with the Standard.

☐ the computer readable form has not been furnished or does not comply with the Standard.

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes:	Claims	1-23,30-38,40-42
	No:	Claims	
Inventive step (IS)	Yes:	Claims	
	No:	Claims	1-23,30-38,40-42
Industrial applicability (IA)	Yes:	Claims	1-23,30-38,40-42
	No:	Claims	

2. Citations and explanations

see separate sheet

SECTION III

1). Claims 24-29 relate to the treatment of human and/or animal bodies. According to Rule 67(1)(iv) an examination is not required for such claims.

2). Claim 39 relates to the specific occupation of a site and is not regarded as a therapeutic treatment. It thus lacks clarity.

3). The expression "physiologically functional derivative" is unclear.

4). There is apparently no reason and/or explanations in the description, which justify the presence of three disclaimers in claim 1. Therefore, they render the claim unclear.

SECTION V

5). Relevant prior art is represented by:

D1: WO 00 12089 A (HUNGATE RANDALL W ;KOESTER TIMOTHY J (US); BILODEAU MARK T (US); M) 9 March 2000 (2000-03-09)

6). There is an overlap between the claimed scope and the disclosure of D1. However, in the compounds of the present application, the link between the benzimidazolyl ring and the thiophenyl moiety is at the position 2 of the thiophenyl moiety. This characteristic is not mentioned in D1 and therefore , this specific technical element restores novelty over D1.

7). D1 describes also compounds having anticancer activity and due to the overlap between the claimed scope, D1 represents the closest prior art.

The problem underlying the current application appears to be the provision of further 1-(2-thiophenyl) benzimidazole derivatives useful in the treatment of cancer.

The proposed solution is represented by the compounds claimed in claim 1.

The data provided by the applicant in the description show that this problem has been solved for the claimed compound sand an reasonable generalisation thereof.

However, due tot he overlap between the disclosure of D1 and the claimed scope, the

skilled person would expect the claimed compounds to exhibit the anticancer properties.

Therefore, the proposed solution is obvious for the skilled reader.

The problem underlying the current application appears thus to be the provision of further polycyclic compounds having unexpected properties over the prior art.

Nothing in the description shows that this problem has been credibly solved.

If comparative data are submitted, the compound on page 61, lines 3-4 of D1 should be used as comparative compound.

8). For the assessment of the present claims 24-29 on the question whether they are industrially applicable, no unified criteria exist in the PCT Contracting States. The patentability can also be dependent upon the formulation of the claims. The EPO, for example, does not recognize as industrially applicable the subject-matter of claims to the use of a compound in medical treatment, but may allow, however, claims to a known compound for first use in medical treatment and the use of such a compound for the manufacture of a medicament for a new medical treatment.